

511,661

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



18 OCT 2004



(43) International Publication Date  
31 December 2003 (31.12.2003)

PCT

(10) International Publication Number  
**WO 2004/000783 A1**

(51) International Patent Classification<sup>7</sup>: **C07C 215/50**,  
215/52, 215/54, A01N 33/02, A61K 31/135

(74) Common Representative: **MERCK & CO., INC.**; 126  
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(21) International Application Number:  
PCT/US2003/019393

(22) International Filing Date: 20 June 2003 (20.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/391,361 24 June 2002 (24.06.2002) US

(71) Applicant (*for all designated States except US*): **MERCK  
& CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway,  
NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **DORN, Conrad,**  
**P.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ  
07065-0907 (US). **POWLES, Mary, Ann** [US/US]; 126  
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).  
**WALSH, Thomas, F.** [US/US]; 126 East Lincoln Avenue,  
Rahway, NJ 07065-0907 (US). **WYVRATT, Matthew,**  
**J.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ  
07065-0907 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,  
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,  
MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,  
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,  
UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,  
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: AMINOALKYLPHENOLS, METHODS OF USING AND MAKING THE SAME

(57) Abstract: The present invention relates to Mannich base antimalarial aminoalkylphenol compounds and their use against protozoa of the genus *Plasmodium*, particularly emerging strains of drug-resistant *Plasmodia*. This invention further relates to compositions containing such compounds and a process for making the compounds. This and other aspects of the invention are realized upon review of the entire specification.



WO 2004/000783 A1